

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
8 January 2004 (08.01.2004)

PCT

(10) International Publication Number
WO 2004/002398 A2

- (51) International Patent Classification⁷: **A61K**
- (21) International Application Number:
PCT/EP2003/006831
- (22) International Filing Date: 25 June 2003 (25.06.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
02077587.0 27 June 2002 (27.06.2002) EP
- (71) Applicant (for all designated States except US): **CILAG AG** [CH/CH]; Hochstrasse 207, CH-8205 Schaffhausen (CH).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **STRONG, BRIAN** [GB/CH]; Ledergasse 16, 8232 MERISHAUSEN (CH). **KLOEMKES, Martin** [DE/CH]; Einsiederstrasse 159, CH-8810 Horgen (CH). **BACHMANN, Dieter** [DE/CH]; Dorfstrasse 5, CH-8234 Stetten (CH).
- (74) Agent: **WANTE, Dirk**; Johnson & Johnson NCB, European Patent Group, Lenneke Marelaan 6, B-1932 Sint-Stevens-Woluwe (BE).
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**
— without international search report and to be republished upon receipt of that report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: SPHERICAL PELLET FORMULATIONS

(57) **Abstract:** A process for preparing spherical pellets comprising (a) a water-soluble active ingredient soluble, freely soluble or very soluble in water; and in particular having a water-solubility of ≥ 0.5 g/ml; (b) a spheronizing agent; (c) a dry lubricant, said method comprising preparing a mixture of the active ingredient, the spheronising agent, the dry lubricant; and an amount of water which is less than 5%, w/w relative to the total weight of the mixture; extruding said mixture to obtain an extrudate; and spheronising the extrudate to form spherical pellets. The invention further concerns pellets obtained by this process and sustained release oral dosage forms containing said pellets.



WO 2004/002398 A2

ABSTRACT

5

Spherical Pellet Formulations

10

A process for preparing spherical pellets comprising (a) a water-soluble active ingredient soluble, freely soluble or very soluble in water; and in particular having a water-solubility of ≥ 0.5 g/ml; (b) a spheronizing agent; (c) a dry lubricant, said method comprising preparing a mixture of the active ingredient, the spheronising agent, the dry lubricant; and an amount of water which is less than 5%, w/w relative to the total weight of the mixture; extruding said mixture to obtain an extrudate; and spheronising the extrudate to form spherical pellets. The invention further concerns pellets obtained by this process and sustained release oral dosage forms containing said pellets.

20